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Claims

1. A pharmaceuticals characterized by general formula (I)

Z-L-V (I)

wherein

V denotes a peptide with a binding sequence -X<sup>1</sup>-X<sup>2</sup>-Val-Tyr-Ile-His-Pro-X<sup>8</sup>-X<sup>9</sup>-X<sup>10</sup>,

L denotes bond or a linker,

Z denotes a group that optionally can carry an imaging moiety M,

X<sup>1</sup> denotes -NY<sub>1</sub>-(CH<sub>2</sub>)<sub>m</sub>-CO- where m is an integer from 1 to 10 and Y<sub>1</sub> is H or an alkyl or aryl containing substituent.X<sup>2</sup> denotes Arg, N-alkylated Arg, a Arg mimetics Phe[4-guanidino] or Gly-4-piperidyl[N-amidino],X<sup>8</sup> denotes Gly, Phe, Phg, Hph, Bip, Ala, Tyr, His, Trp or Nal,X<sup>9</sup> and X<sup>10</sup> denote, independent of each other, Pro, Arg, His, Ala, Phe, Glu, Leu, Val, Ile, Met, Trp, Asp or Lys and where X<sup>8</sup>, X<sup>9</sup> and X<sup>10</sup> together constitute an ACE cleavage siteand wherein the residues Val and Ile at position 3 and 5 respectively may optionally be replaced with amino acids capable of forming a bridging unit wherein the bridge containing a -CH<sub>2</sub>-CH<sub>2</sub>-, -S-CH<sub>2</sub>-, -S-CH<sub>2</sub>-S-, lactam or -S-S- unit,Z forms a bond with the amino acid X<sup>1</sup> optionally through the linker L, and

M where present denotes an imageable moiety capable of detection either directly or indirectly in a diagnostic imaging procedure.

2. A pharmaceutical according to claim 1 wherein the amino acid of X<sup>1</sup>, X<sup>2</sup>, X<sup>8</sup>, X<sup>9</sup>, X<sup>10</sup> are independently selected from

X<sup>1</sup> denoting GlyX<sup>2</sup> denoting Arg or N-Methyl-ArgX<sup>8</sup> denoting PheX<sup>9</sup> denoting Pro, Arg, His, Ala, Phe, Glu, Leu, Val, Ile, Met, Trp, Asp or Lys andX<sup>10</sup> denoting Pro, Arg, His, Ala, Phe, Glu, Leu, Val, Ile, Met, Trp, Asp or Lys.

3. A pharmaceutical according to the preceding claims further comprising one or more biomodifier groups are attached to any positions of the V and L groups of formula (I)

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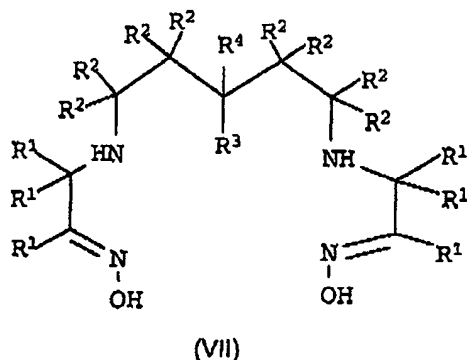
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4. A pharmaceutical according to the preceding claims wherein Z denotes a chelating agent.

5. A pharmaceutical according to claim 4 wherein Z denotes the chelating agent of formula (VII)



wherein:

each  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  is independently H or  $C_{1-10}$  alkyl,  $C_{3-10}$  alkylaryl,  $C_{2-10}$  alkoxyalkyl,  $C_{1-10}$  hydroxyalkyl,  $C_{1-10}$  alkylamine,  $C_{1-10}$  fluoroalkyl, or 2 or more R groups, together with the atoms to which they are attached form a carbocyclic, heterocyclic, saturated or unsaturated ring.

6. A pharmaceutical according to any of the preceding claims wherein M represents an imageable moiety for the use in diagnosis particularly in *in vivo* diagnosis comprising a moiety which emit or cause to emit detectable radiation, a moiety which affect local electromagnetic fields, moieties which absorb or scatter radiation energy, heavy metals and compounds thereof and moieties which generate a detectable substance.

7. A pharmaceutical according to claim 6 wherein M represents a gamma emitting moiety for Radio or SPECT imaging comprising  $^{67}\text{Ga}$ ,  $^{111}\text{In}$ ,  $^{123}\text{I}$ ,  $^{126}\text{I}$ ,  $^{131}\text{I}$ ,  $^{81\text{m}}\text{Kr}$ ,  $^{99}\text{Mo}$ ,  $^{99\text{m}}\text{Tc}$ ,  $^{201}\text{Tl}$  and  $^{133}\text{Xe}$ .

8. A pharmaceutical according to claim 6 wherein M represents a radio emitter with positron emitting properties for PET imaging comprising  $^{11}\text{C}$ ,  $^{18}\text{F}$ ,  $^{68}\text{Ga}$ ,  $^{13}\text{N}$ ,  $^{15}\text{O}$  and  $^{82}\text{Rb}$ .

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9. A pharmaceuticals according to claims 1 to 5 characterized by general formula (I)



wherein

V denotes a peptide with a binding sequence  $-X^1-X^2\text{-Val-Tyr-Ile-His-Pro-}X^8\text{-}X^9\text{-}X^{10}$ , wherein the amino acid of  $X^1$ ,  $X^2$ ,  $X^8$ ,  $X^9$ ,  $X^{10}$  are independently selected from

$X^1$  denoting Gly

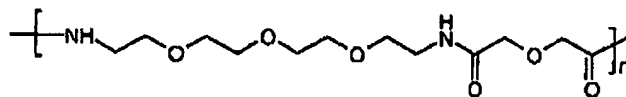
$X^2$  denoting Arg or N-Methyl-Arg

$X^8$  denoting Phe

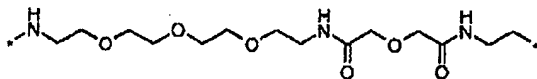
$X^9$  denoting Pro, Arg, His, Ala, Phe, Glu, Leu, Val, Ile, Met, Trp, Asp or Lys and

$X^{10}$  denoting Pro, Arg, His, Ala, Phe, Glu, Leu, Val, Ile, Met, Trp, Asp or Lys.

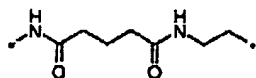
L denotes a bond or a linker selected from compounds of formula  $\text{NH}-(\text{CH}_2)_m$  optionally combined with  $-\text{CO}-(\text{CH}_2)_n-\text{CO}-$  where  $m$  denotes a positive integer from 1 to 10, one or more units of compounds of formula (IV) wherein  $n$  is an integer from 1 to 10, compounds of formula (X) or (VI)



Formula (IV)



Formula (X)



Formula (VI)

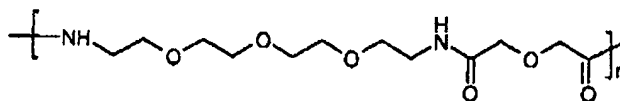
Z denotes a chelating agent of formula (VII) that optionally can carry an imaging moiety M, and one or more biomodifier groups selected from monodisperse PEG building block comprising 1 to 10 units of said building block or the compound of formula IV,

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Formula (IV)

wherein n equals an integer from 1 to 10 are attached to any positions of the V and L groups of formula (I).

10. Pharmaceutical formulation comprising a pharmaceutical of formula (I) of claim 1 together with one or more pharmaceutical acceptable additives and/or excipients.

11. A kit for the preparation of a radiopharmaceutical composition of formula (I) comprising a peptide-chelate conjugate and a reducing agent.

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